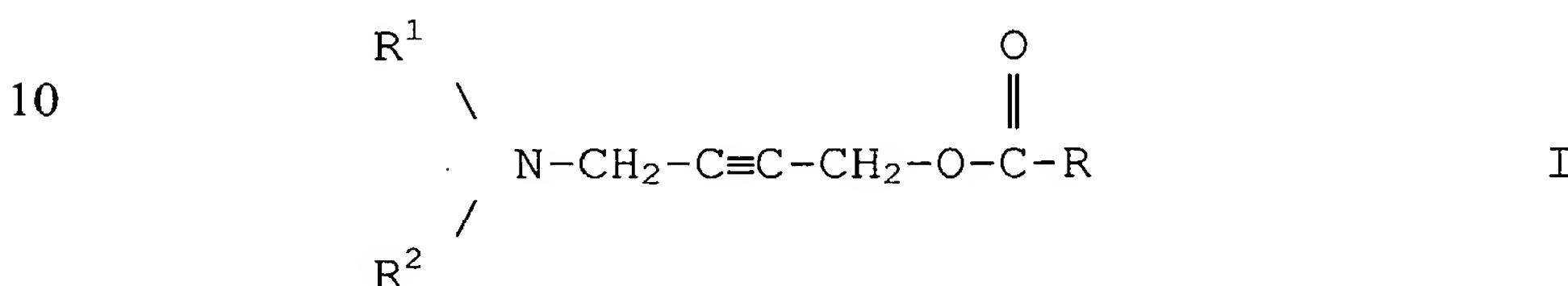


Claims

1. 4-(N-substituted amino)-2-butynyl-1-esters represented
 5 by the following general formula I, their
 bis-(2-butynyl)diesters and pharmaceutically
 acceptable salts thereof,



15

wherein

20 R is a hydrogen atom; a straight-chained or branched, saturated or unsaturated aliphatic radical with 1-20 C-atoms which is unsubstituted or substituted one or more times by $\text{C}_1\text{-C}_6$ -alkyl, $\text{C}_1\text{-C}_6$ -alkoxy, halogen, epoxy, amino, mercapto, a phenyl ring which is unsubstituted or substituted one or more times by $\text{C}_1\text{-C}_6$ -alkyl, $\text{C}_1\text{-C}_6$ -alkoxy, hydroxy, epoxy, amino, mercapto or halogen; a cycloalkyl group with 4 to 7 atoms unsubstituted or substituted one or more times by $\text{C}_1\text{-C}_6$ -alkyl, $\text{C}_1\text{-C}_6$ -alkoxy, hydroxy, epoxy, amino, mercapto or halogen,

25

30

35

R^1 and R^2 are joined to form a heterocyclic ring with 3 to 6 C-atoms, unsubstituted or substituted one or more times by $\text{C}_1\text{-C}_6$ -alkyl, $\text{C}_1\text{-C}_6$ -alkoxy, hydroxy, halogen, epoxy, amino, mercapto, whereby at least one C-atom can be replaced by O, S or N, or

5 R¹ and R₂ are the same or different a hydrogen atom, a straight-chained or branched, saturated or unsaturated aliphatic radical with 1-20 C-atoms, unsubstituted or substituted one or more times by C₁-C₆-alkyl, C₁-C₆-alkoxy, hydroxy, halogen, epoxy, amino, mercapto,

10 2. 4-(N-substituted amino)-2-butynyl-1-esters according to claim 1,

 wherein

15 R is a hydrogen atom, a straight-chained or branched alkyl group with 1-12 C-atoms, which can be substituted one or more times by C₁-C₆-alkyl; a phenyl ring which can be substituted one or more times by C₁-C₆-alkyl; a cyclo alkyl ring with 5-6 C-atoms which can be substituted one or more times by C₁-C₆-alkyl.

20

3. 4-(N-substituted amino)-2-butynyl-1-esters according to claim 1 or 2,

 wherein

25 R¹ and R² are the same alkyl group with 1-12 C-atoms, which can be straight-chained or branched and substituted by C₁-C₆-alkyl,

 or

30 R¹ and R² are joined to form a heterocyclic ring with 4 to 6 C-atoms, whereby at least one C-atom can be replaced by O, S or N, and the ring can be substituted by C₁-C₆-alkyl.

4. 4-(N-substituted amino)-2-butynyl-1-esters according to one of claims 1 to 3,

wherein

5 R is a hydrogen atom, a straight-chained or branched alkyl group with 1-6 C-atoms, which can be substituted one or more times by C₁-C₆-alkyl; a phenyl ring which can be substituted one or more times by C₁-C₆-alkyl; a cyclo alkyl ring with 5-6 C-atoms which can be substituted one or more times by C₁-C₆-alkyl,

10

and

15 R¹ and R² are the same alkyl group with 1-6 C-atoms, which can be straight-chained or branched and substituted by C₁-C₆-alkyl,

or

20 R¹ and R² are joined to form a heterocyclic ring with 4 to 6 C-atoms, whereby at least one C-atom can be replaced by O, S or N, and the ring can be substituted by C₁-C₆-alkyl.

25 5. 4-(N-substituted amino)-2-butynyl-1-esters according to claims 4,

wherein

30 R is H or alkyl such as methyl, ethyl, propyl, butyl, pentyl, hexyl, phenyl, tertiary butyl and cyclohexyl

and

35 R¹ and R² are identically methyl, ethyl, propyl, butyl or phenyl; or form together with the N-atom a piperidino, pyrrolidino, morpholino, thiomorpholino, hexamethylene imino, piperazino and methyl piperazino ring.

6. 4-(N-substituted amino)-2-butynyl-1-esters according to claims 5,

wherein 4-(N-substituted amino)-2-butynyl-1-esters are selected from the group comprising

- 5 - [N-(4-morpholino-2-butynyl)] acetate
- [N-(4-piperidino-2-butynyl)] acetate
- [N-(4-(N-methyl piperazino-2-butynyl)] acetate
- [N-(4-thiomorpholino-2-butynyl)] acetate
- [N-(4-pyrrolidino-2-butynyl)] acetate
- 10 - [N-(4-hexamethylene imino-2-butynyl)] acetate
- [N-(4-morpholino-2-butynyl)] benzoate
- [N-(4-morpholino-2-butynyl)] formate
- [N-(4-diethylamino-2-butynyl)] acetate
- [N-(4-diphenylamino-2-butynyl)] acetate
- 15 - [N-(4-morpholino-2-butynyl)] propionate
- [N-(4-thiomorpholino-2-butynyl)] propionate
- [N-(4-morpholino-2-butynyl)] pivalate
- [N,N'-(4,4-piperazino-bis-2-butynyl)] diacetate
- [N-(4-morpholino-2-butynyl)] cyclohexyl carboxy
- 20 late.

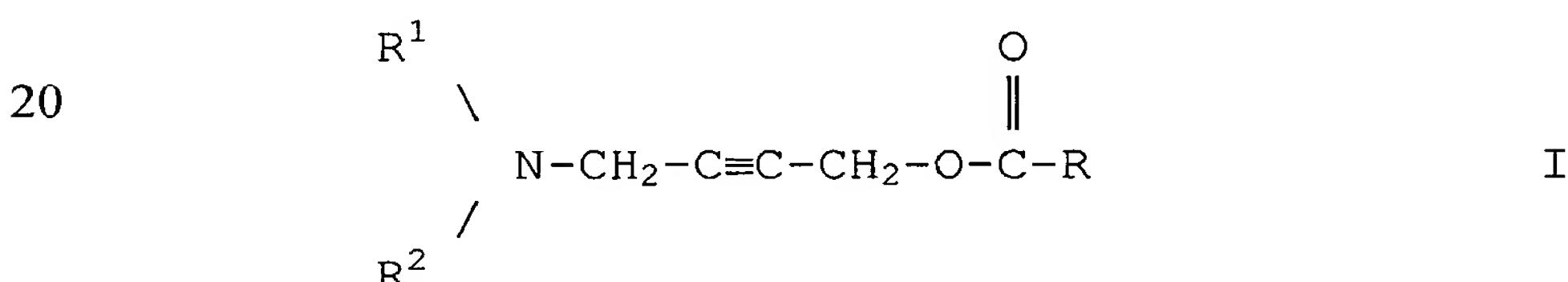
7. Method for producing 4-(N-substituted amino)-2-butynyl-1-esters or a pharmaceutically acceptable salt according to anyone of claims 1 - 6 comprising

- 25 - a successive conversion of a propargyl alcohol in a propargyl ester by simple esterification,
- a conversion of the propargyl ester in N-(4-amino-2-butynyl) ester by Mannich condensation to give a compound of formula I and, if desired converting a compound of formula I to a corresponding pharmaceutically salt by conventional means.
- 30

8. Method according to claim 7,
 characterized in that,
 the Mannich condensation is performed in the presence
 of paraformaldehyd, an acid catalyst, Cu-salts and a
 5 solvent.

9. Pharmaceutical composition for use in therapy,
 comprising a compound according to anyone of claims 1
 10 to 6, and a pharmaceutically-acceptable carriers,
 adjuvants, vehicles and/or diluents.

10. Use of 4-(N-substituted amino)-2-butynyl-1-esters
 15 represented by the following general formula I, their
 bis-(2-butynyl)diesters and pharmaceutically
 acceptable salts thereof,



25 wherein

30 R is a hydrogen atom; a straight-chained or
 branched, saturated or unsaturated aliphatic
 radical with 1-20 C-atoms which is unsubstituted
 or substituted one or more times by C₁-C₆-alkyl,
 C₁-C₆-alkoxy, hydroxy, halogen, epoxy, amino,
 mercapto, a phenyl ring which is unsubstituted or
 substituted one or more times by C₁-C₆-alkyl, C₁-
 C₆-alkoxy, hydroxy, epoxy, amino, mercapto or
 35 halogen; a cycloalkyl group with 4 to 7 atoms
 unsubstituted or substituted one or more times by

C_1-C_6 -alkyl, C_1-C_6 -alkoxy, hydroxy, epoxy, amino, mercapto or halogen,

5 R^1 and R^2 are joined to form a heterocyclic ring with 3 to 6 C-atoms, unsubstituted or substituted one or more times by C_1-C_6 -alkyl, C_1-C_6 -alkoxy, hydroxy, halogen, epoxy, amino, mercapto, whereby at least one C-atom can be replaced by O, S or N, or

10 R^1 and R_2 are the same or different a hydrogen atom, a straight-chained or branched, saturated or unsaturated aliphatic radical with 1-20 C-atoms, unsubstituted or substituted one or more times by C_1-C_6 -alkyl, C_1-C_6 -alkoxy, hydroxy, halogen, 15 epoxy, amino, mercapto,

for manufacturing an agent for the treatment of a cell proliferative disorder.

20 11. Use according to claim 10, characterized in that, the cell proliferative disorder is a neoplasia.

25 12. Use according to claim 10 or 11, characterized in that, the neoplasia the neoplasia is selected from the group consisting of leukemias, lymphomas, sarcomas, 30 carcinomas, neural cell tumors, squamous cell carcinomas, germ cell tumors, undifferentiated tumors, seminomas, melanomas, neuroblastomas, mixed cell tumors, metastatic neoplasia and neoplasia due to pathogenic infections.